

Figure 1

09077712-070999

2/34

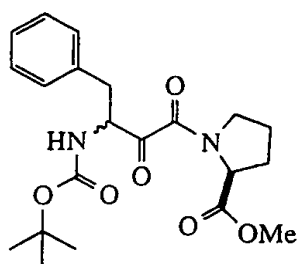
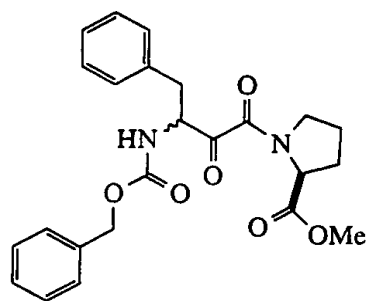
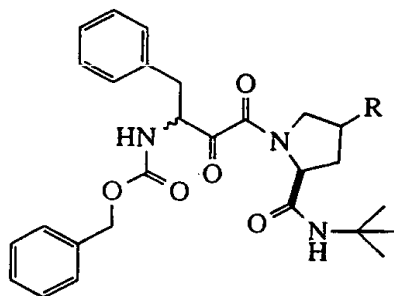
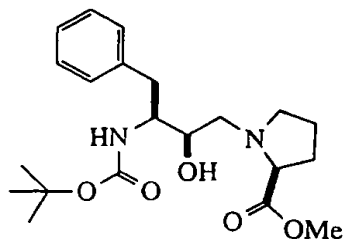
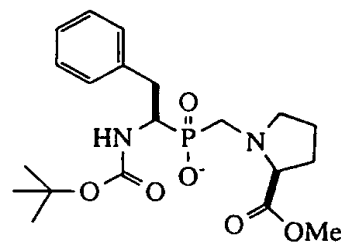
**1a** $K_i = 6\mu\text{M}$ **1b** $K_i = 405\text{ nM}$ **2** $R = \text{H}$; $K_i = 214\text{ nM}$ **73** $R = \text{OBn (cis)}$; $K_i = 65\text{ nM}$ **74** $R = \text{OMe (trans)}$; $K_i = 220\text{ nM}$ **75** $R = \text{OBn (trans)}$; $K_i = 318\text{ nM}$  $K_i = >50\mu\text{M}$  $K_i = 230\mu\text{M}$

Figure 2

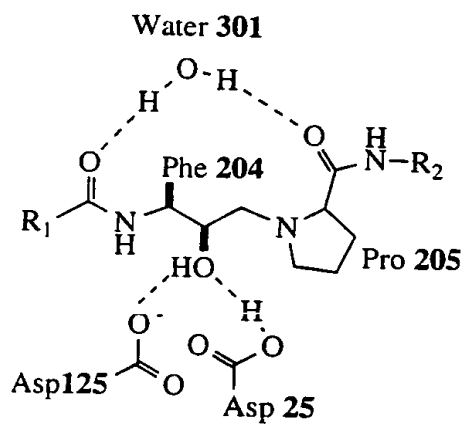


Figure 3

000020-2122060

4/34

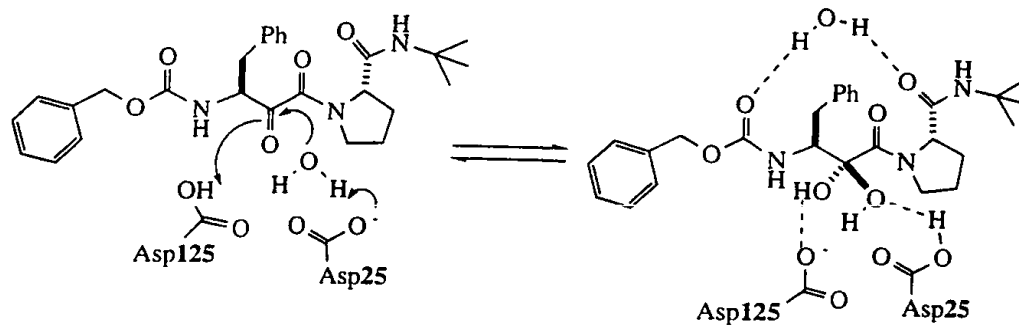


Figure 4

5/34

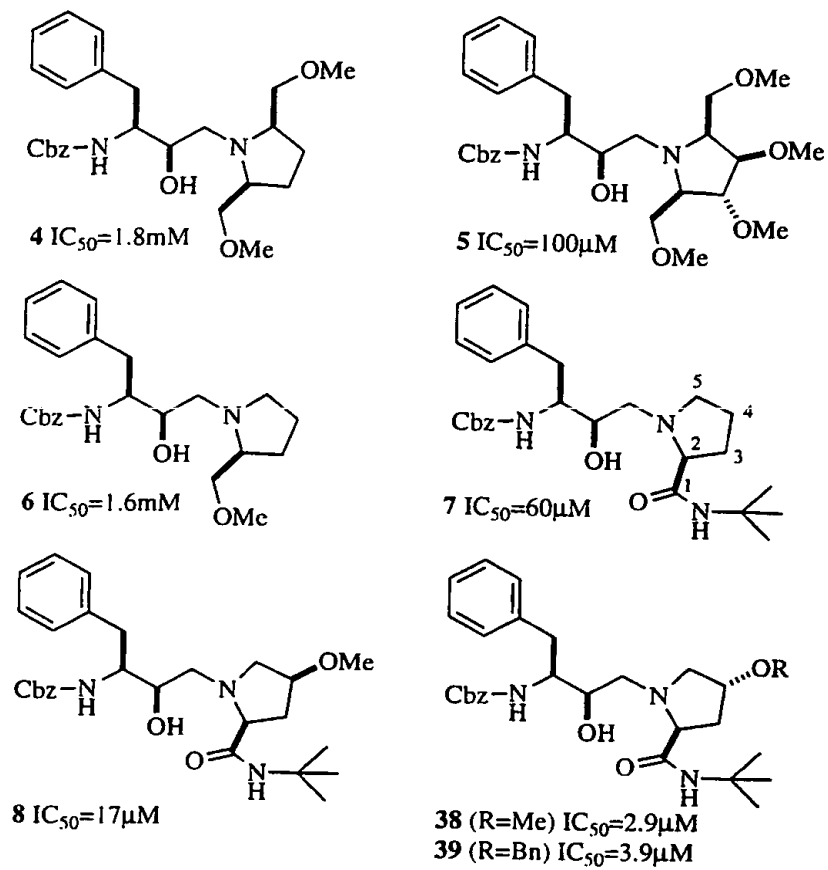


Figure 5



FIGURE 6

7/34

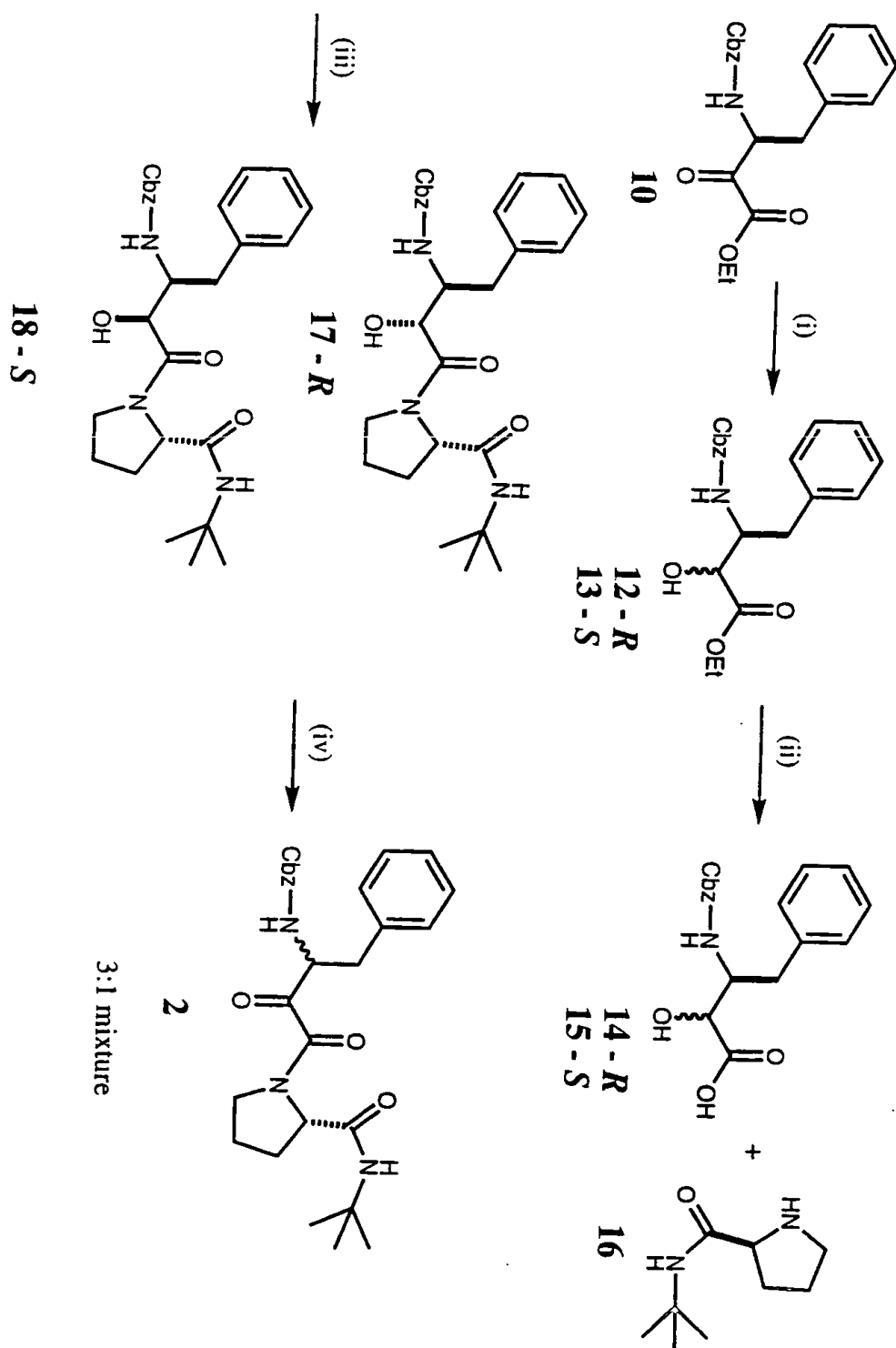


FIGURE 7

09077712-070999

8/34

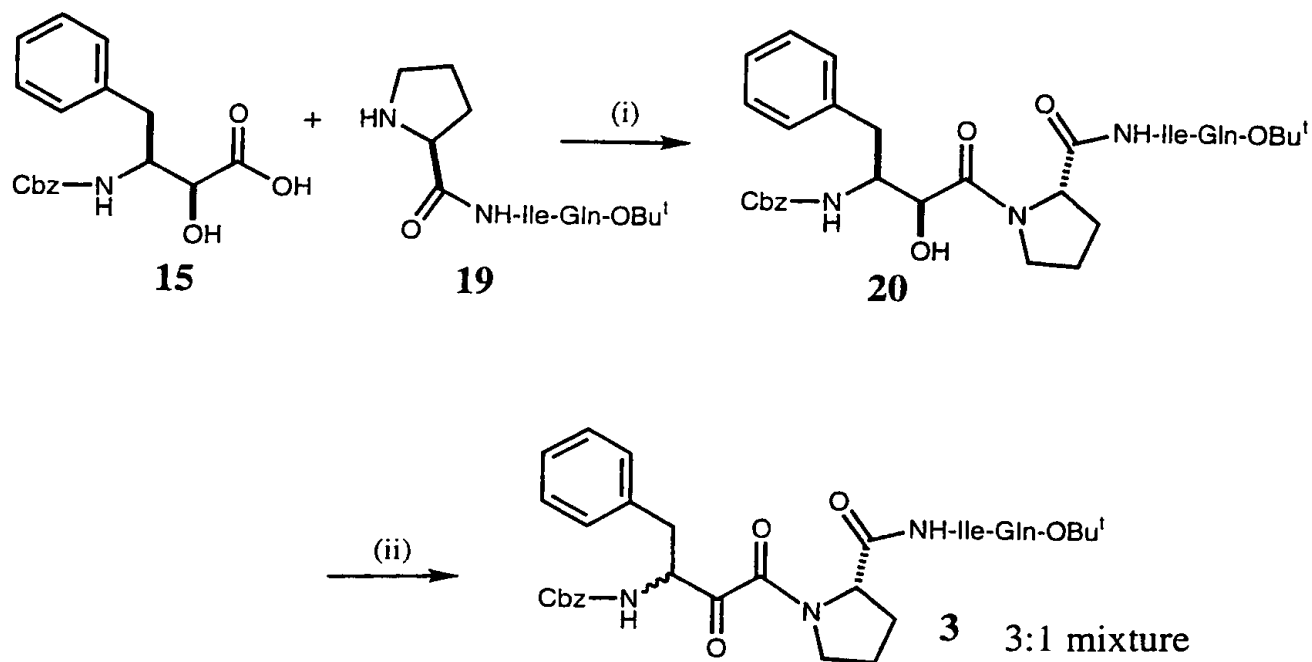


FIGURE 8

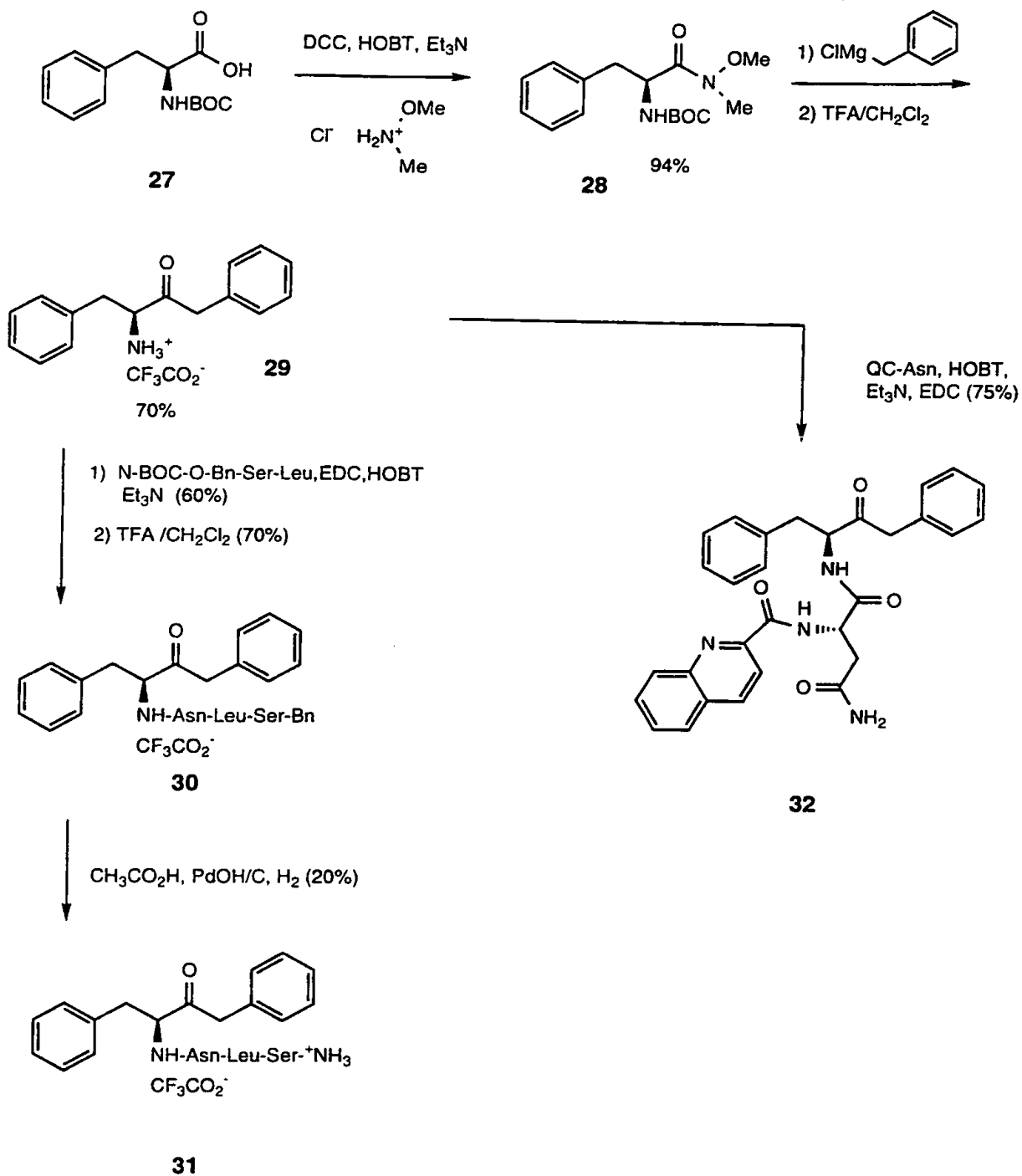


FIGURE 9

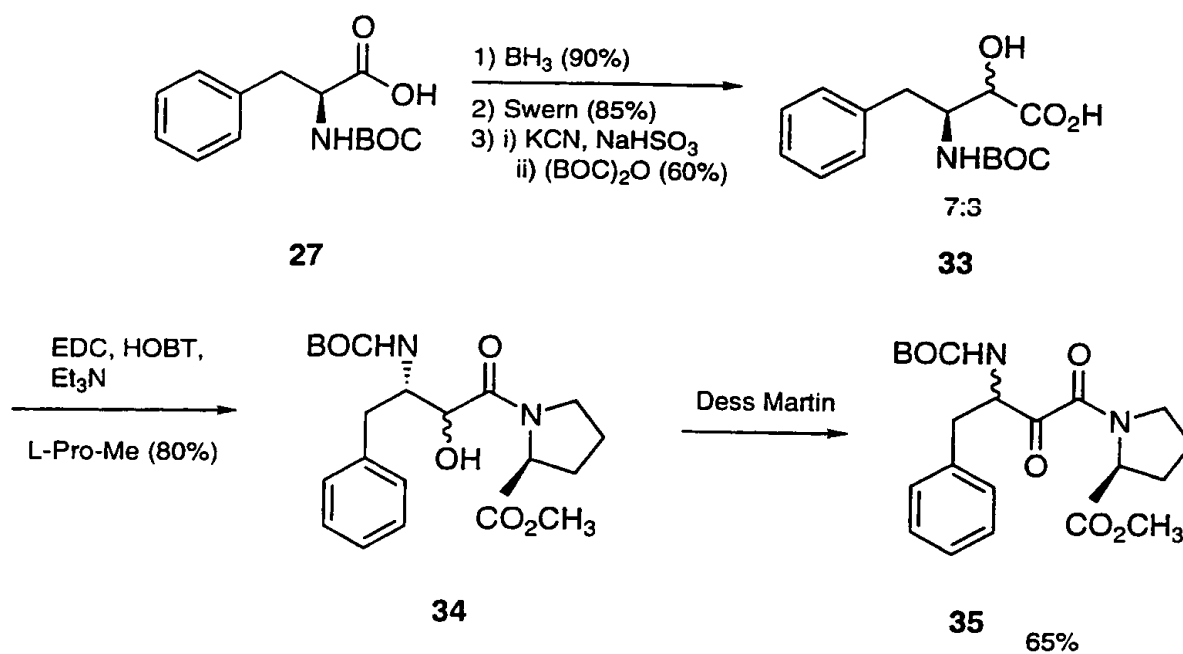


FIGURE 10

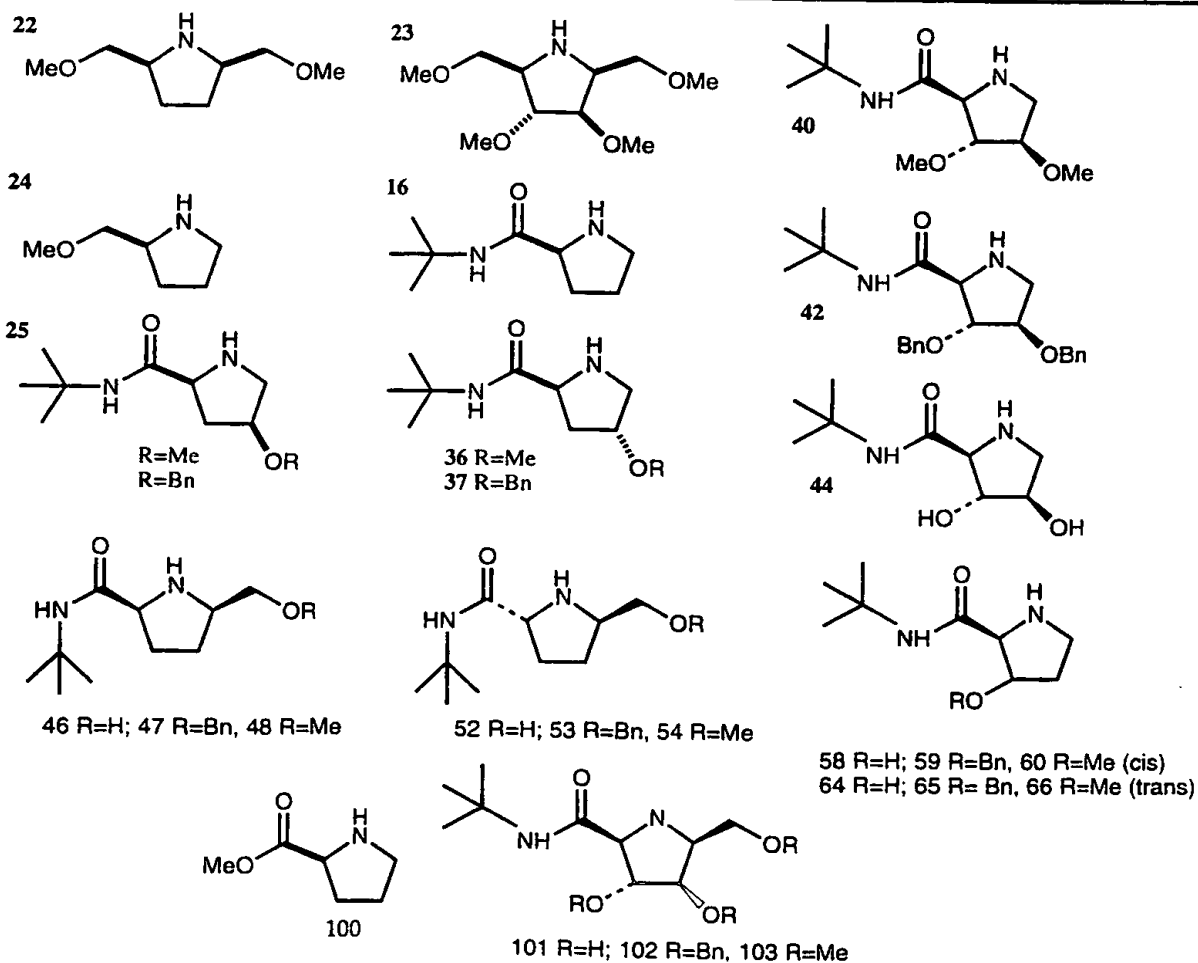
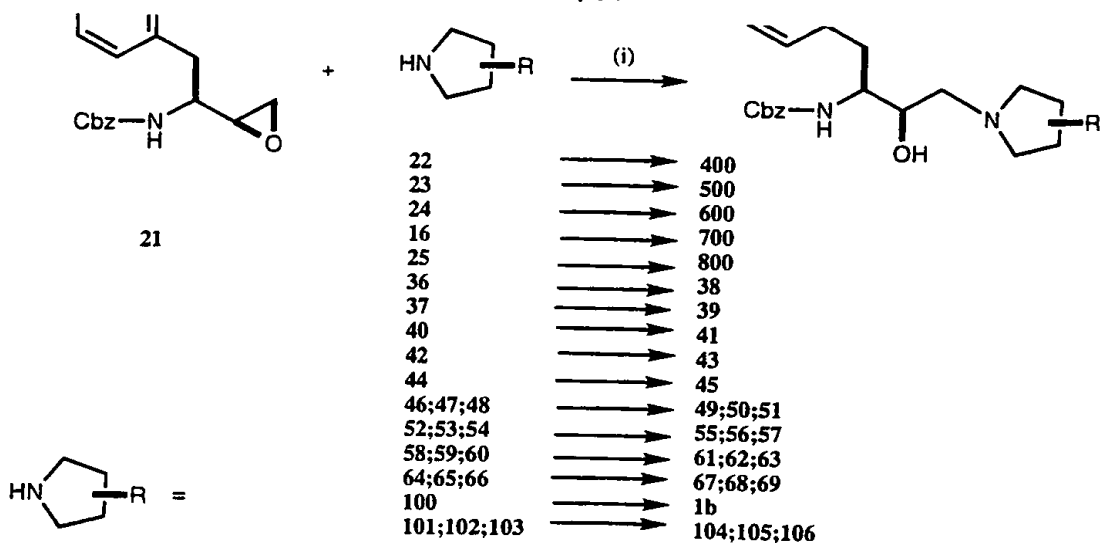
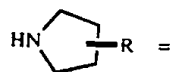
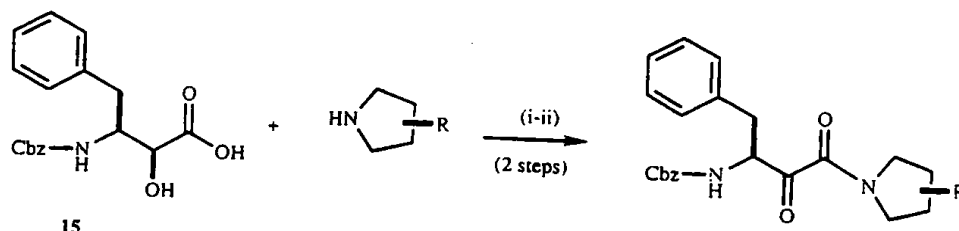


FIGURE 11

12/34



22	→	70
23	→	900
24	→	71
16	→	2
25	→	73
36	→	74
37	→	75
40	→	76
42	→	77
44	→	78
46;47;48	→	79a;80a;81a
52;53;54	→	79b;80b;81b
58;59;60	→	82a;83a;84a
64;65;66	→	82b;83b;84b
100	→	1c
101;102;103	→	107;108;109

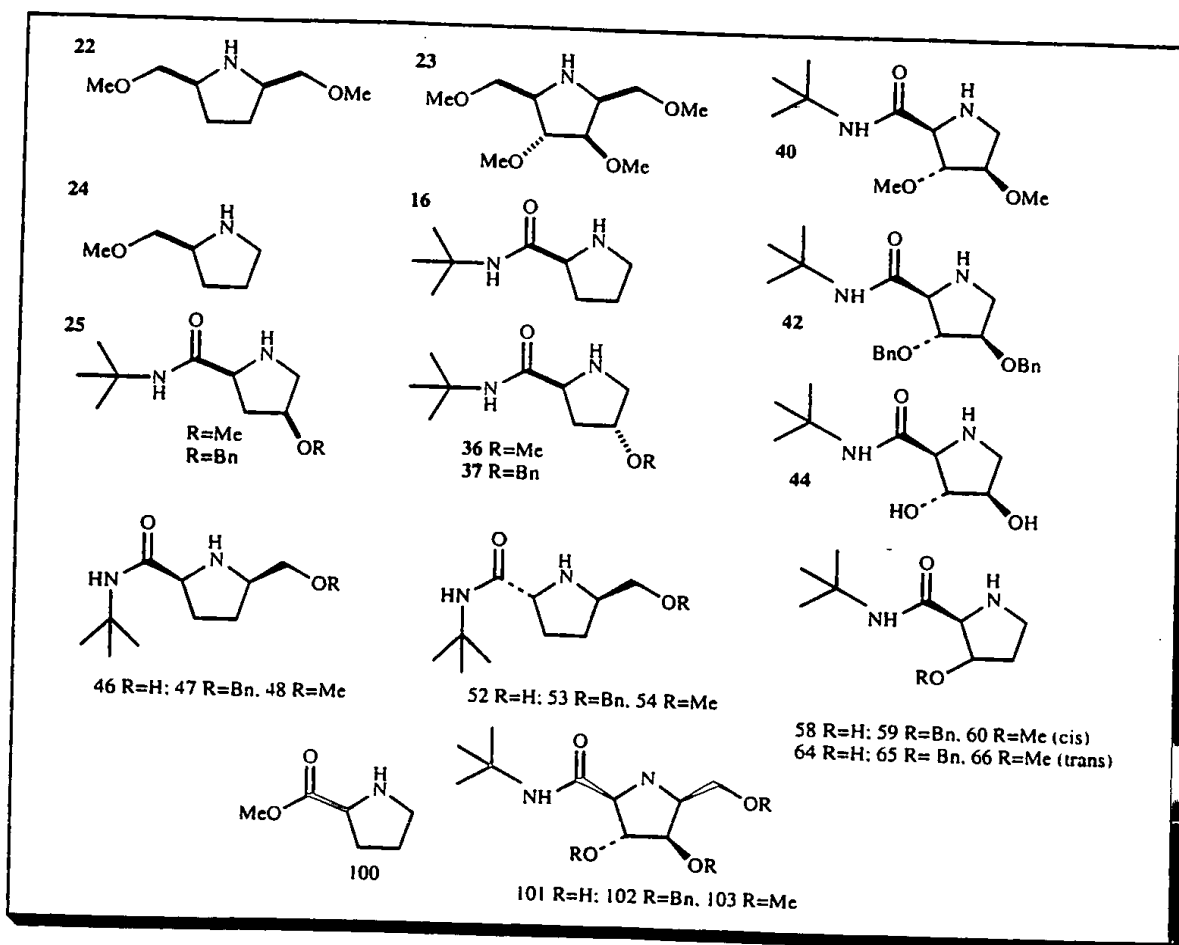


FIGURE 12

13/34

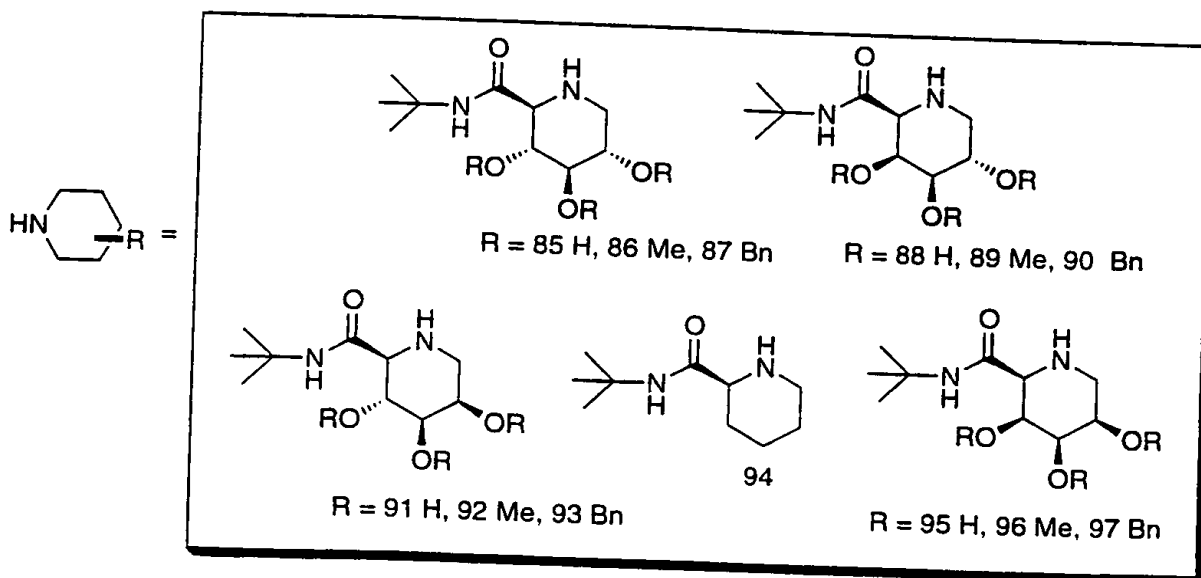
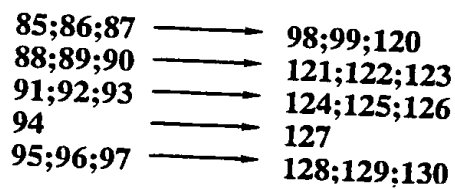
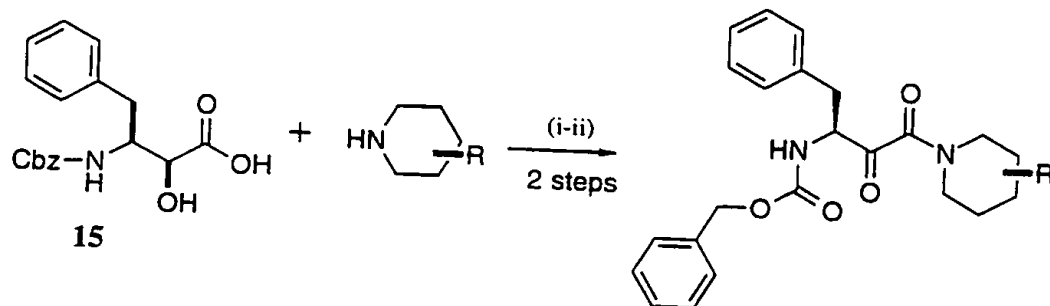


Figure 13

14/34

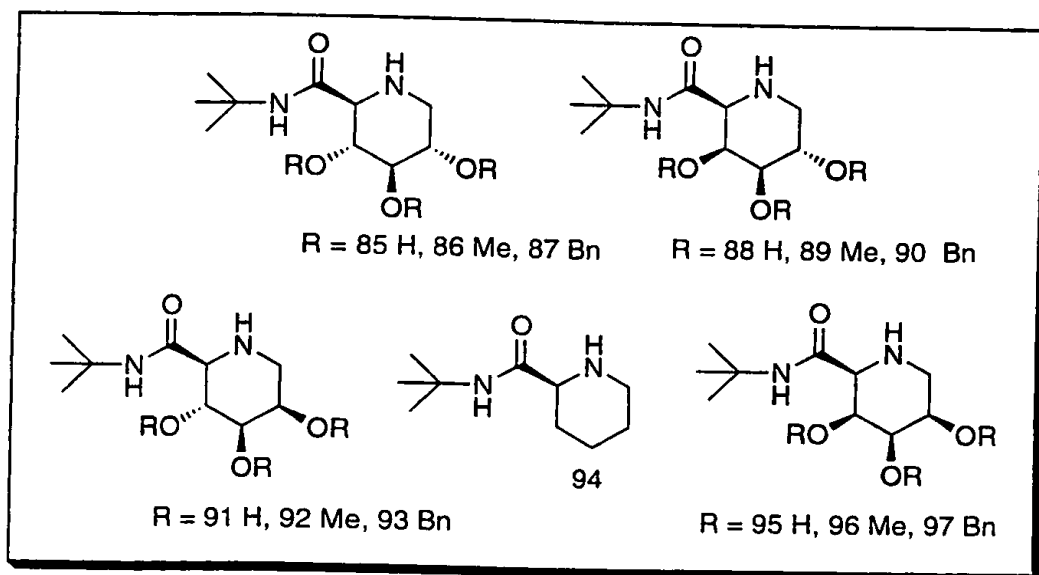
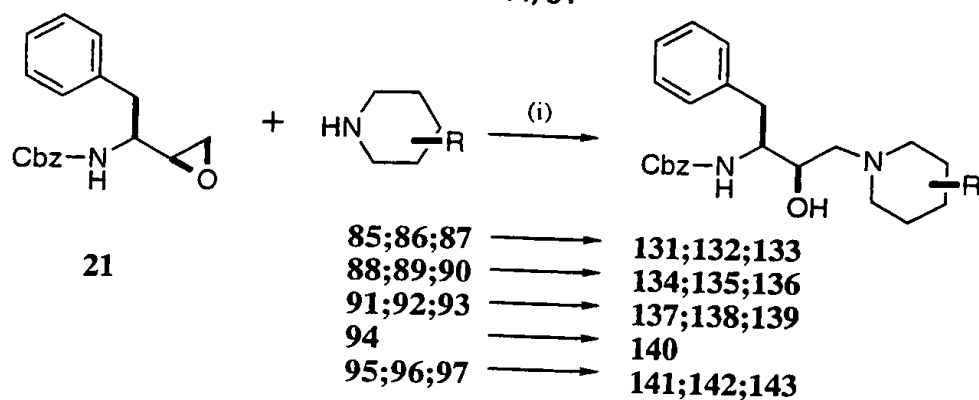


Figure 14

15/34

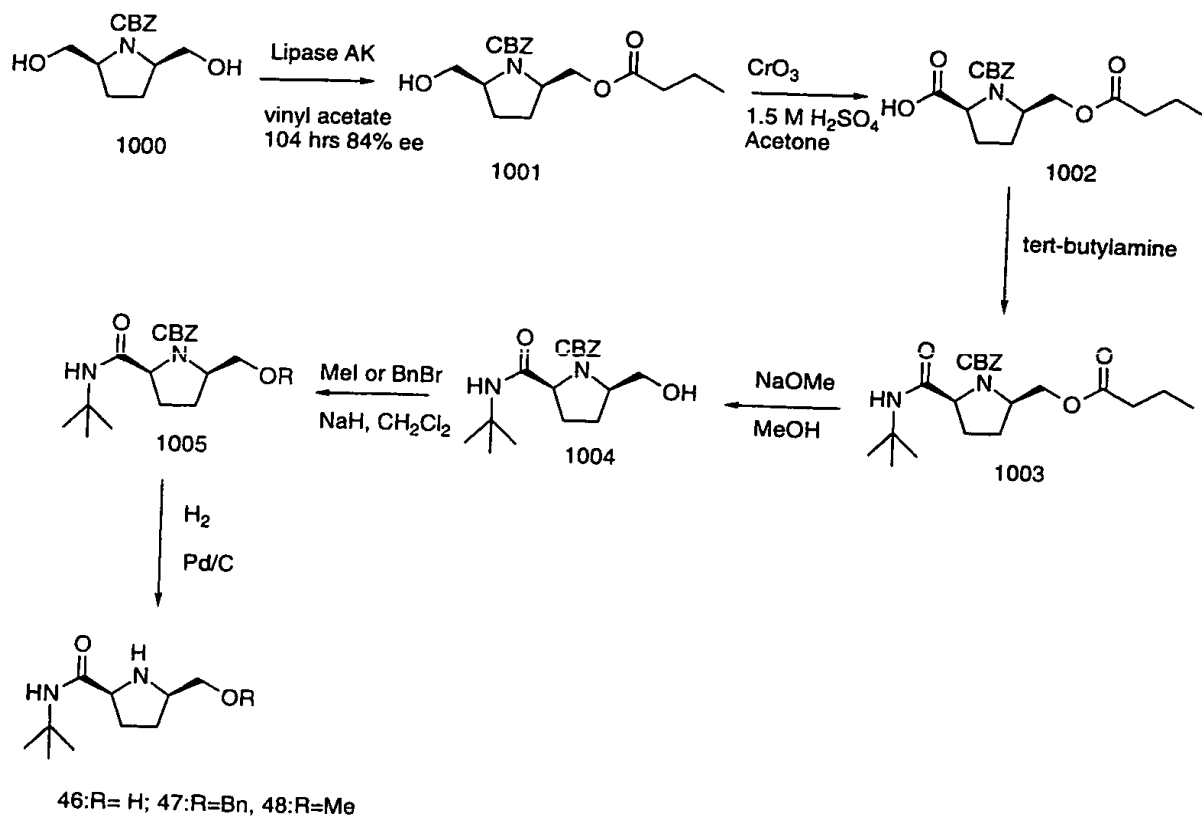
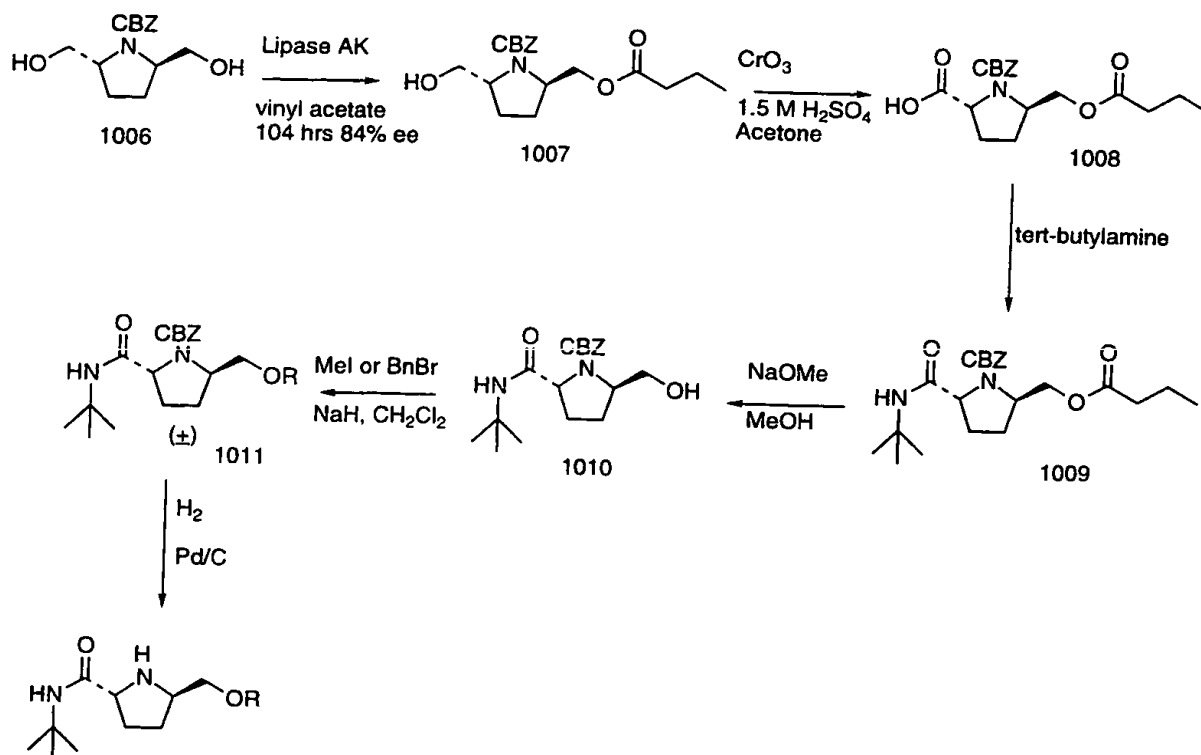


Figure 15



52:R= H; 53:R=Bn, 54:R=Me

Figure 16

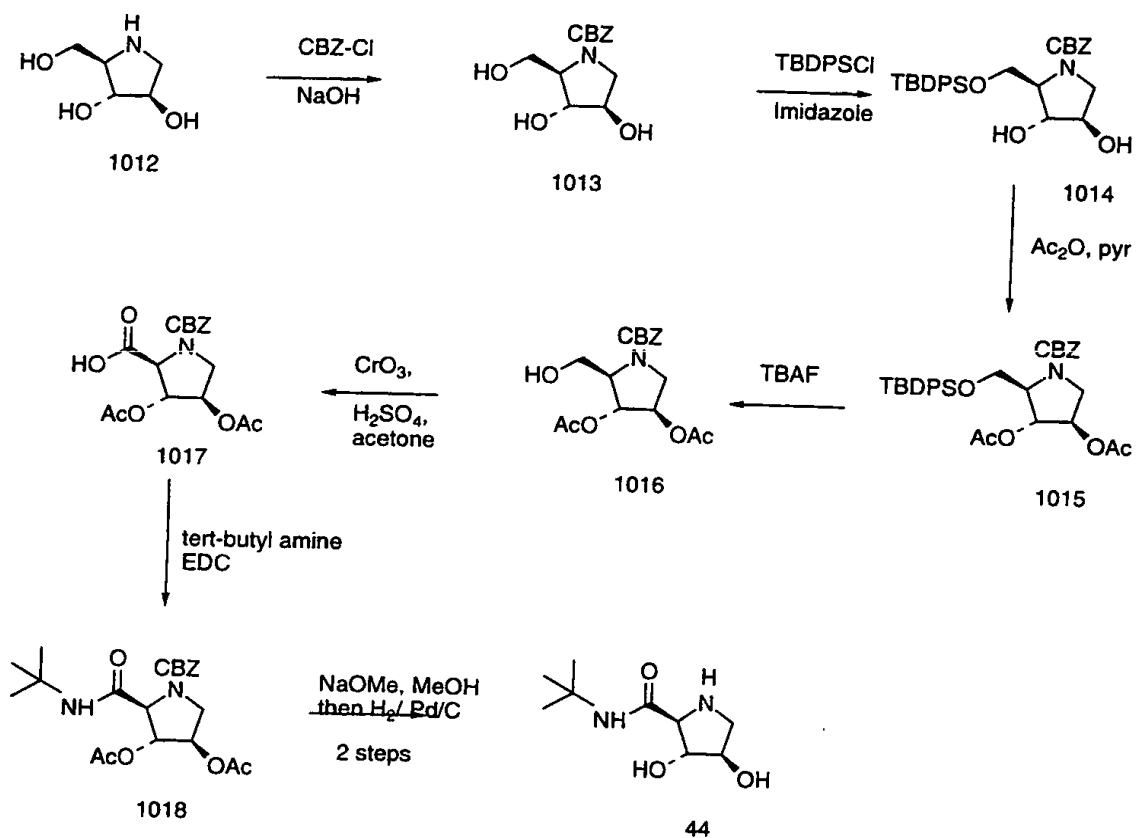


Figure 17

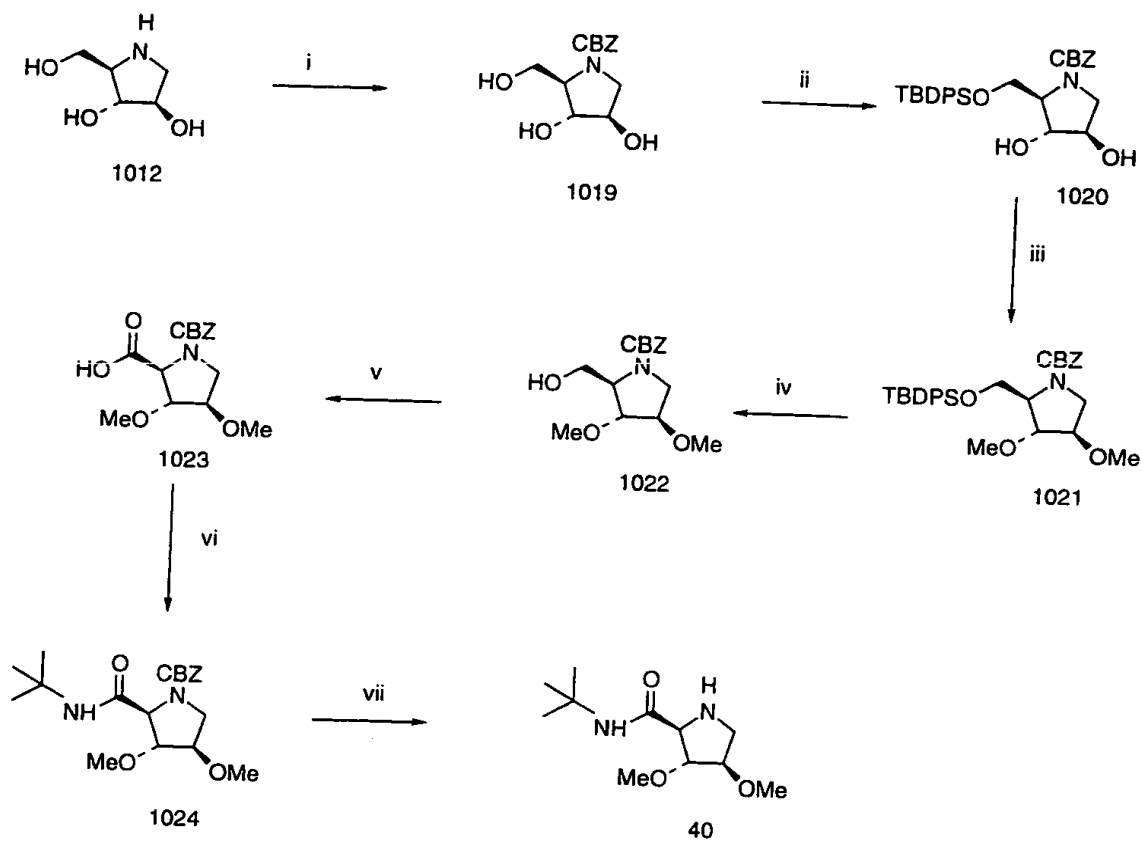


Figure 18

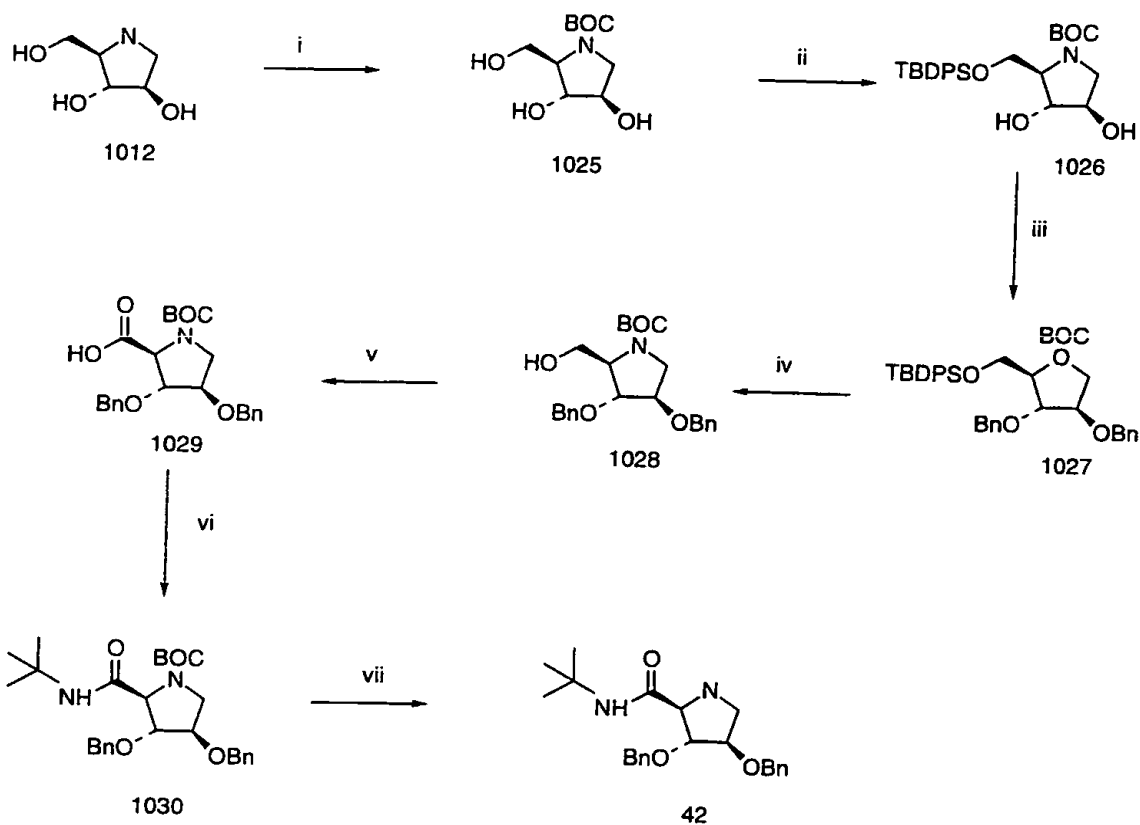


Figure 19

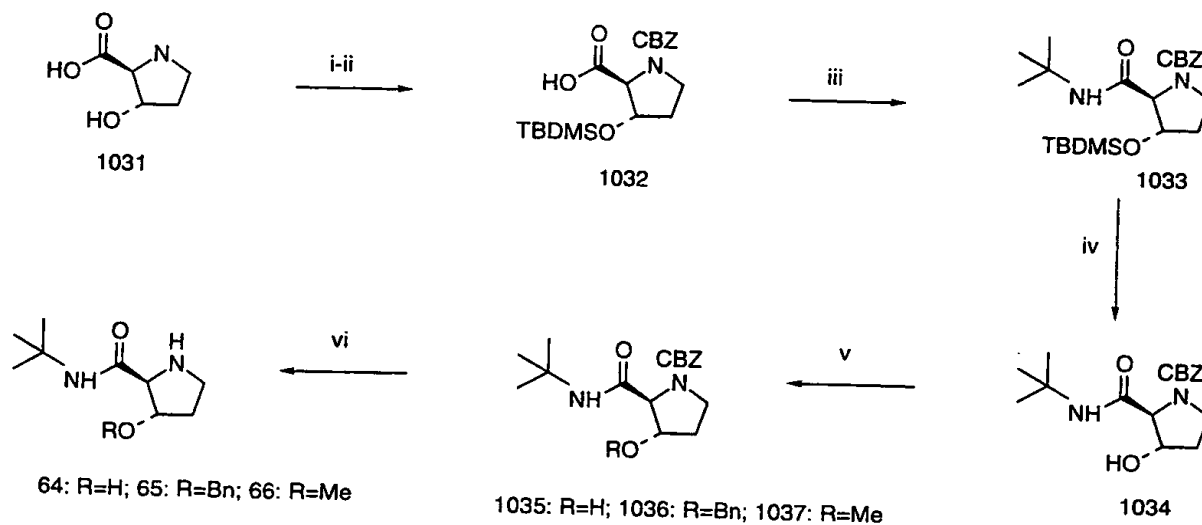
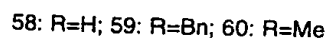


Figure 20

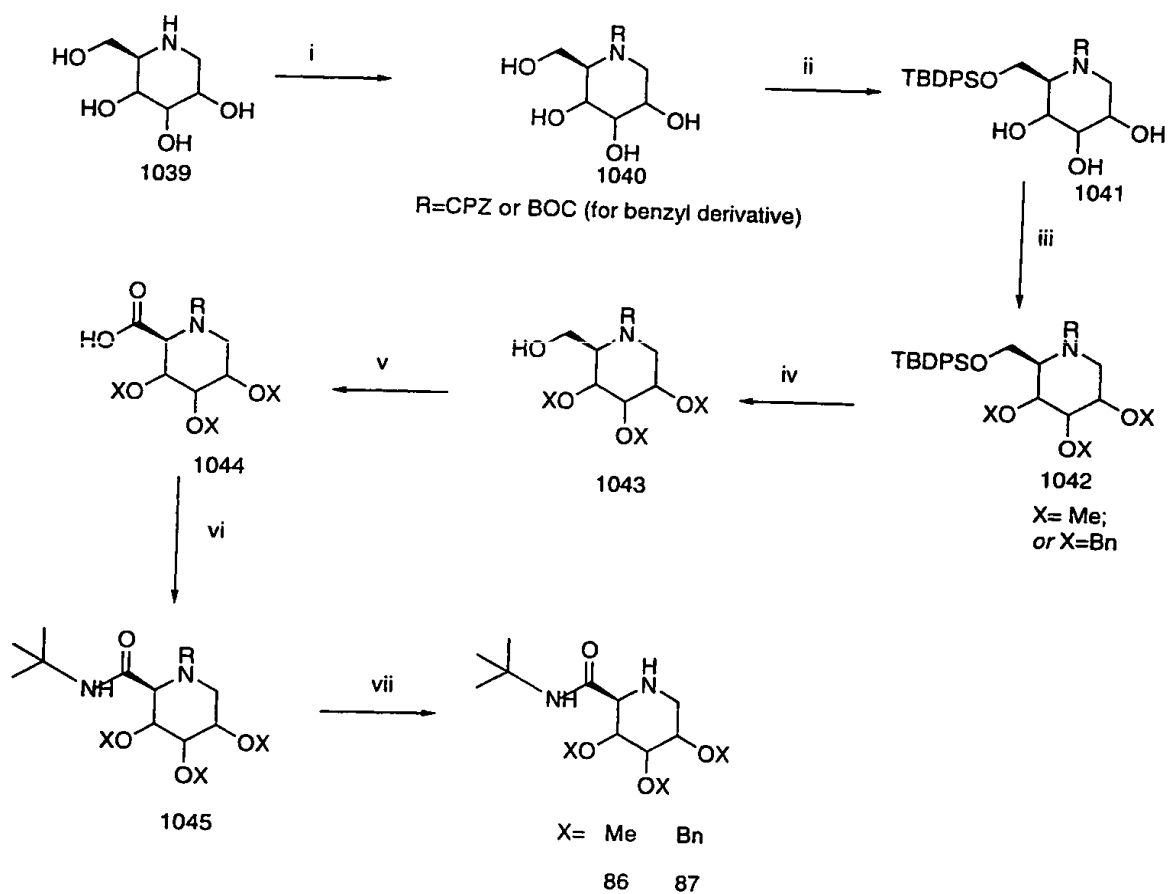


Figure 21

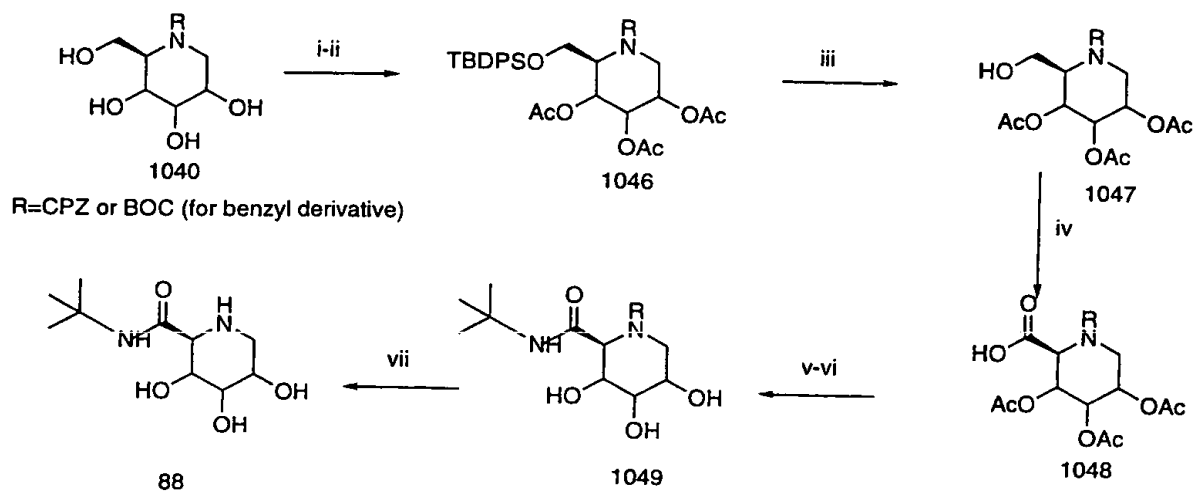
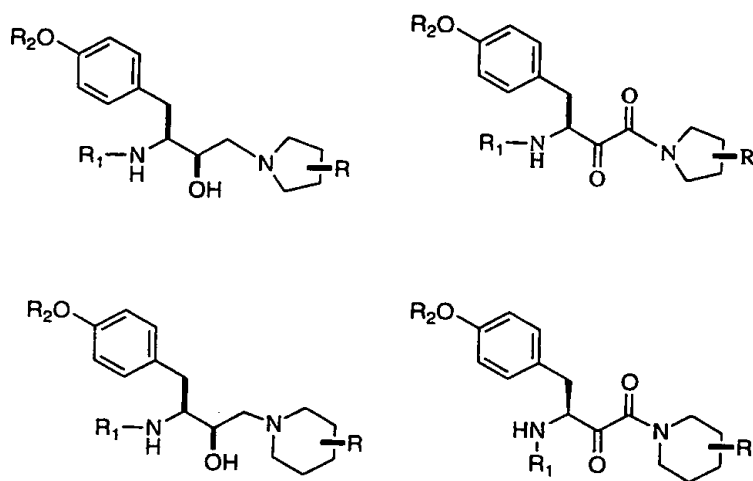


Figure 22



R = various side groups
R₁ = CBZ, BOC or other N-protecting group
R₂ = various protecting groups (H, Methyl, Benzyl, p-methoxy benzyl, tertbutyldimethylsilyl, tertbutyldiphenylsilyl etc.)

Figure 23

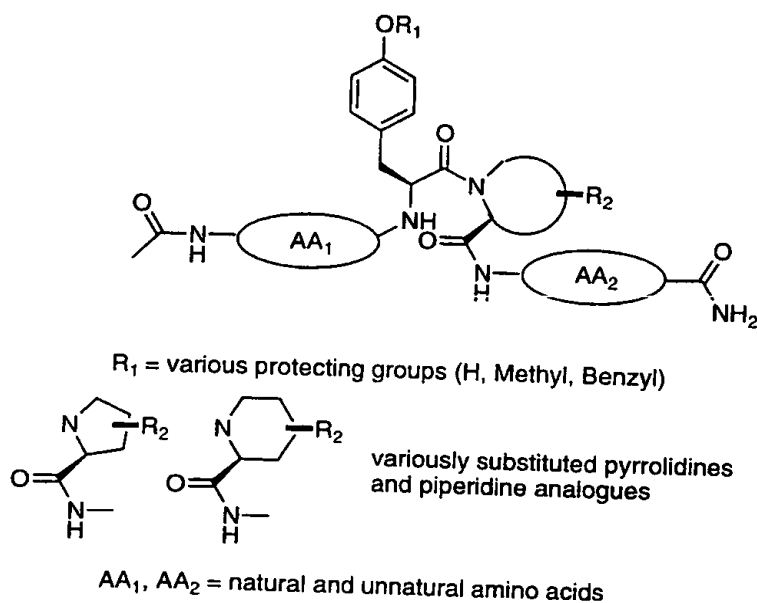


Figure 24

25/34

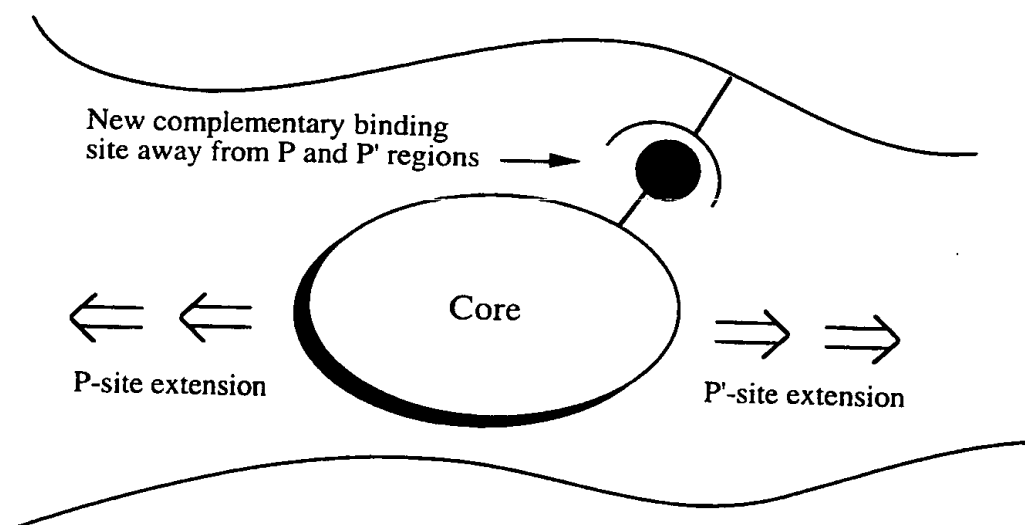


FIGURE 25

09077712-070000

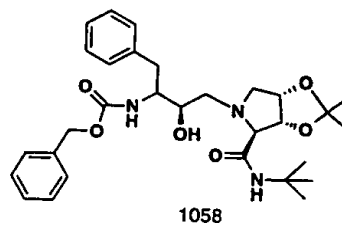
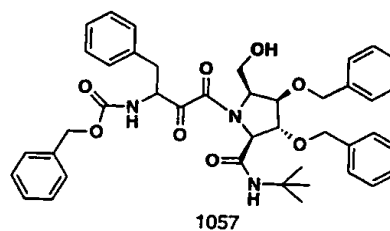
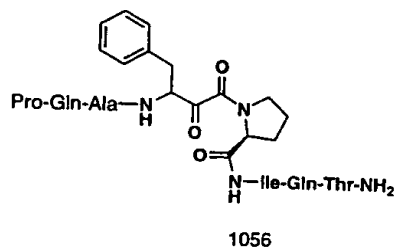
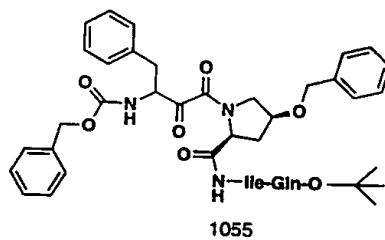
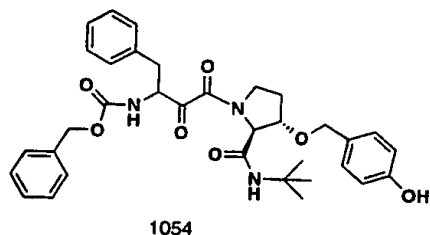
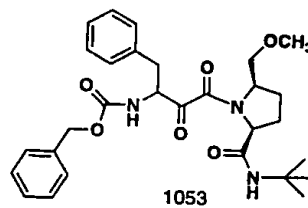
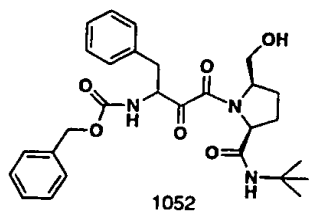
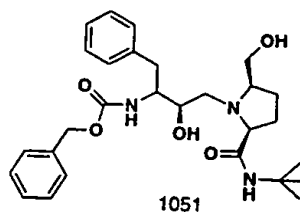
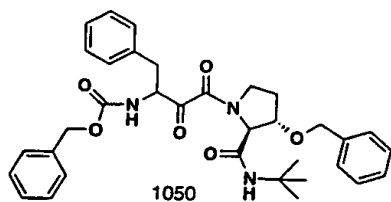


FIGURE 26

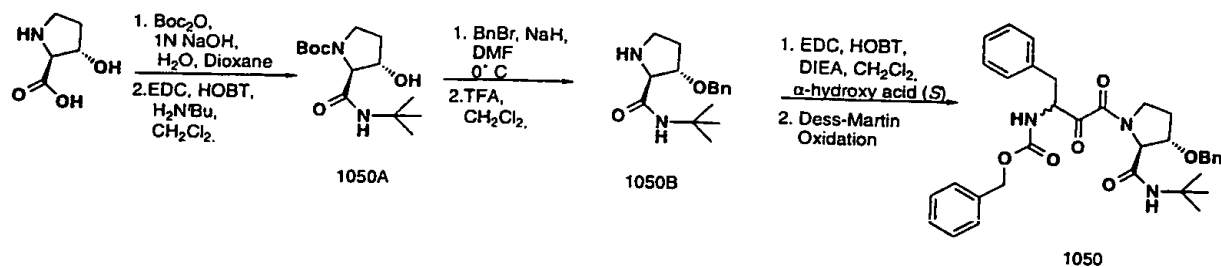


FIGURE 27

28/34

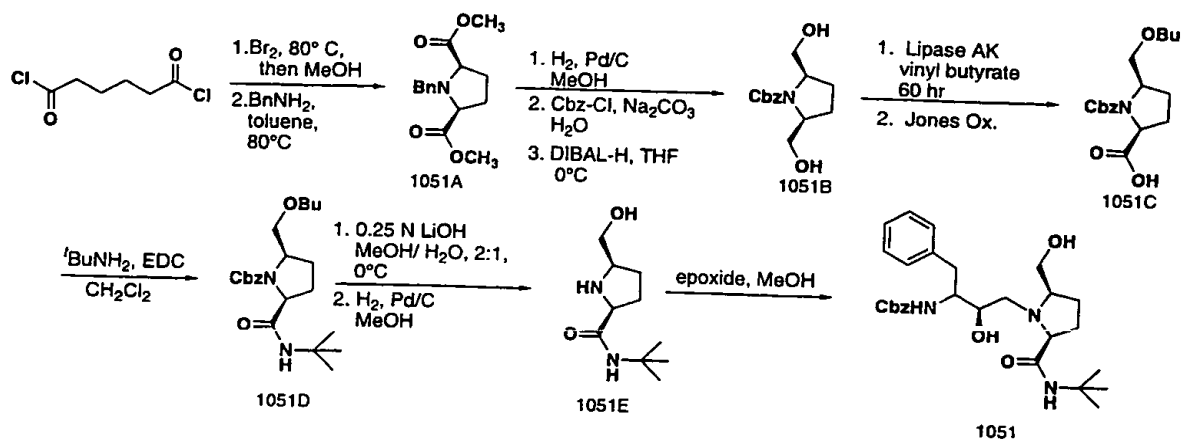
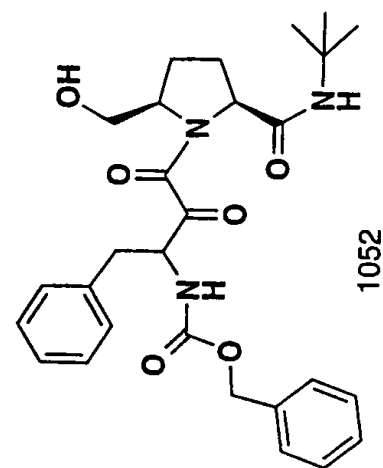
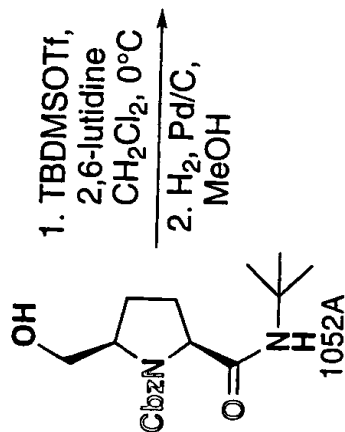
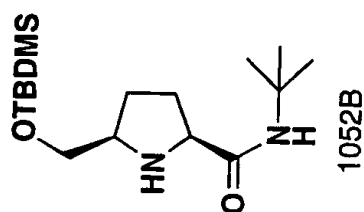


FIGURE 28

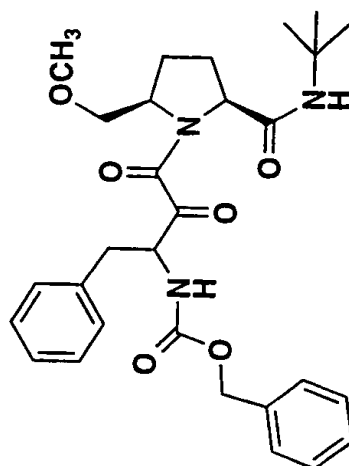
29/34



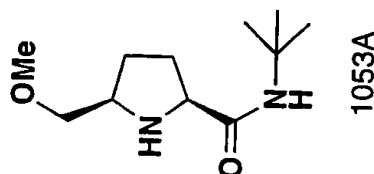
1. α -hydroxyacid, EDC
HOBT, CH_2Cl_2
2. Dess-Martin Oxid.
3. TBAF, THF



1. TBDMSTf,
2,6-lutidine
 CH_2Cl_2 , 0°C
2. H_2 , Pd/C,
MeOH



1. α -hydroxyacid, EDC
HOBT, CH_2Cl_2
2. Dess-Martin Oxid.



1. NaH, MeI
DMF, 0°C
2. H_2 , Pd/C,
MeOH

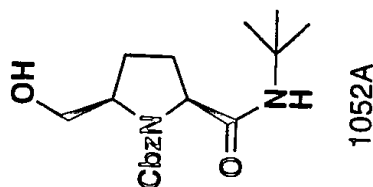
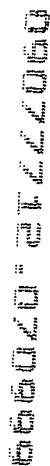



FIGURE 29

O=[N+]([O-])c1ccccc1COc2ccc(CBr)cc2O=[N+]([O-])c1ccccc1COc2cc(OCc3ccccc3[N+](=O)[O-])ccc2Br

Chemical structure of 4-(2-(2,2,2-trifluoroethyl)ethyl)phenol, showing a benzene ring with a hydroxyl group (HO-) and a 2-(2,2,2-trifluoroethyl)ethyl substituent.

Oc1ccc(CO)cc1O

1060

FIGURE 30

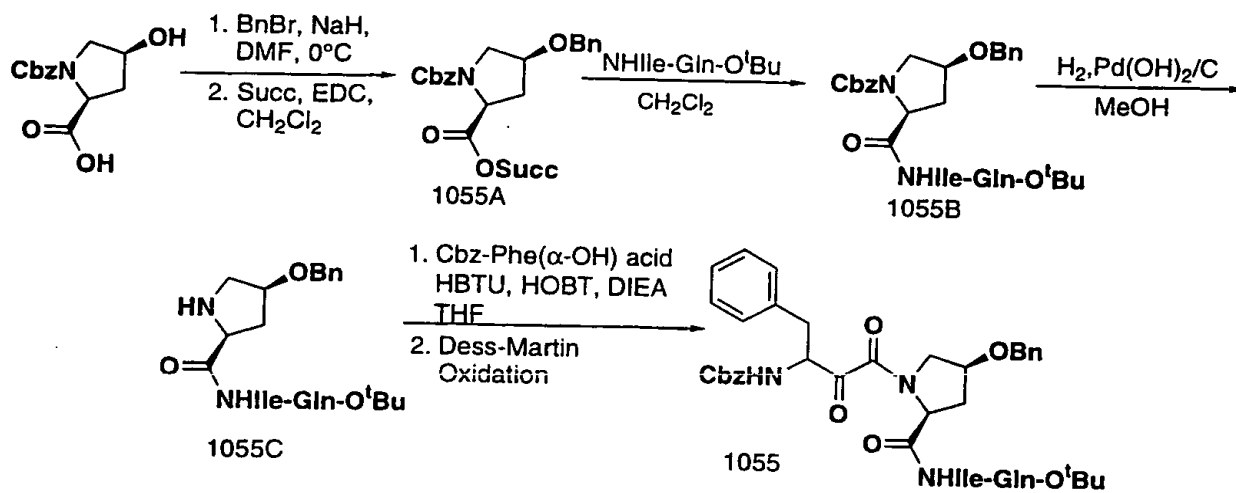


FIGURE 31

32/34

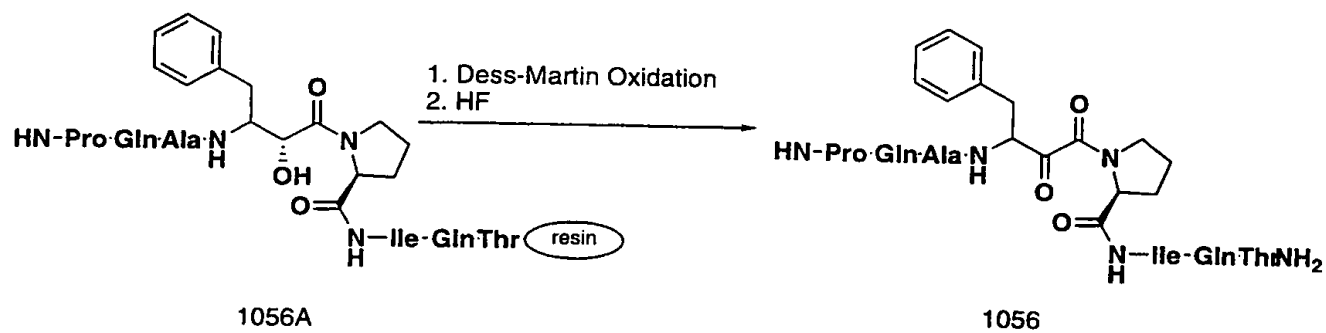


FIGURE 32

07099

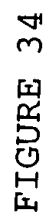


FIGURE 34